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447512 (07) 5026835 June 25, 1991

UNITED STATES PATENT AND TRADEMARK OFFICE GRANTED PATENT

5026835

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June 25, 1991

Pyrimidine 2'-methylidene nucleoside compounds

EXPIRATION: June 25, 2003 - DUE TO FAILURE TO PAY MAINTENANCE FEES (O.G. August 19, 2003)

REISSUE: Reissue Application filed Sep. 26, 1995 (O.G. Nov. 28,, (O.G. November 28, 1995)

INVENTOR: Ueda, Tohru - Sapporo, Japan (JP); Sasaki, Takuma - Kanazawa, Japan (JP); Matsuda, Akira - Sapporo, Japan (JP); Miyashita, Takanori - Choshi, Japan (JP); Sakata, Shinji - Choshi, Japan (JP); Yamagami, Keiji - Baltimore, Maryland, United States (US); Fujii, Akihiro - Kiyose, Japan (JP)

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ASSIGNEE-AT-ISSUE: Yamasa Shoyu Co., Ltd., Chiba, Japan (JP), 03
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ASSIGNEE-AFTER-ISSUE: February 5, 1990 - ASSIGNMENT OF ASSIGNORS INTEREST., YAMASA SHOYU CO., LTD., 10-1, ARAOI- CHO 2-CHOME, CHOSHI-SHI, CHIBA 288JAPAN; YOSHITOMI PHARMACEUTICAL INDUSTRIES, LTD., 6-9, HIRANOMACHI 2-CHOME, CHUO-KU, OSAKA-SHI, OSAKA 541 JAPAN, Reel and Frame Number: 05231/0204

LEGAL-REP: Wenderoth, Lind & Ponack

PUB-TYPE: June 25, 1991 - Utility Patent having no previously published pre-grant publication (A)

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US-ADDL-CL: 536#28.5, 536#28.52

CL: 536

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IPC-MAIN-CL: 5C 07H019#0

PRIM-EXMR: Brown, Johnnie R.

ASST-EXMR: Wilson, James Oliver

REF-CITED:

0310673, April, 1989, European Patent Office (EP)

NON-PATENT LITERATURE: Takenuki et al., "Journal of Medicinal Chemistry", vol. 31, No. 6, pp. 1063-1064 (1988).
Chem. Abstr., 110, 160409h (1989).

CORE TERMS: sup, compound, solvent, acid, sub, chloroform, methylidenecytidine, salt, room temperature, antiviral, methanol, distilled, stirring, formula, chromatography, residue, acyl, silica gel, cooling, hydroxy, ice, dissolved, chloride, hydrogen, elution, mixture, minutes, silyl, partitioned, dropwise

ENGLISH-ABST:

Pyrimidine 2'-deoxy-2'-methylidene nucleoside compounds: ##STR1## wherein R.sup.1 represents amino, hydroxy, silylamino, silyloxy, acylamino or acyloxy; R.sup.2 represents hydrogen, halogen, a lower alkyl, a lower alkenyl, a lower alkynyl or haloalkyl; R.sup.3 and R.sup.4 represent the same or different hydrogen, silyl, acyl or aminoacyl, or a pharmaceutically acceptable salt or hydrate thereof, except that R.sup.1 is amino or hydroxy, and both of R. sup.3 and R.sup.4 are hydrogen. < P><P>Said compounds possess excellent antitumor and antiviral activities, thus providing novel anticancer and antiviral agent.

NO-OF-CLAIMS: 2

EXMPL-CLAIM: 1

SUMMARY:

BACKGROUND OF THE INVENTION

This invention relates to novel pyrimidine 2'-methylidene nucleosides possessing an excellent antitumor and antiviral activities, their pharmaceutically acceptable salts.

Under the circumstances in which death due to cancer has increased in number, chemotherapy and immunotherapy in addition to surgical therapy have been widely conducted. In this connection, in chemotherapy, cytarabine (cytosine arabinoside), 5-fluorouracil and the like as antimethabolites, which are considered effective against acute leukemia, have been clinically used.